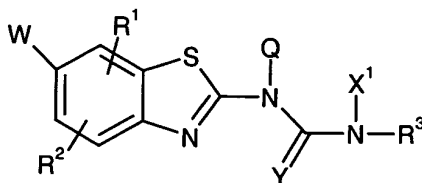


CLAIMS

What is claimed is:

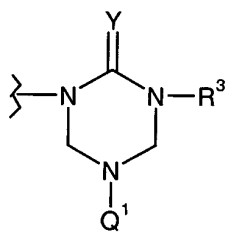
1. A compound of formula (I),



(I),

racemic-diastereomeric mixtures thereof, optical isomers thereof, prodrugs thereof, isotopes thereof or pharmaceutically-acceptable salts of said compound, isomers, prodrugs and isotopes, wherein,

- 10 Q is H or represents a bond which is taken together with X¹ and the two nitrogen atoms to which Q and X¹ are attached and the C=Y group to which the two nitrogen atoms are attached to form



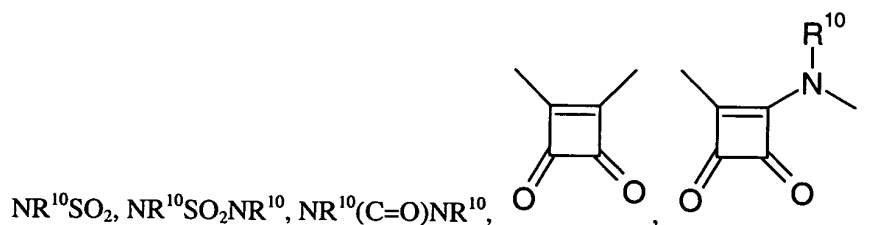
Q¹ is (C₁-C₆)alkyl;

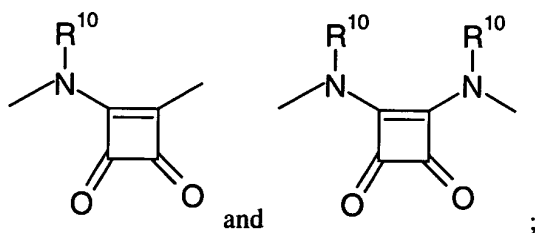
Y is O or S;

- 15 W is H, Cl, Br, I, NO₂, CN, SCN, OCF₃, -X_q-(C(R¹⁰)₂)_a-Y¹_q-(C(R¹⁰)₂)_a-Z¹_q, or an optionally substituted group selected from the group consisting of alkyl, alkenyl, alkynyl, heterocyclyl-alkenyl, and heterocyclyl-alkynyl;

Y¹ and X are each independently selected from the group consisting of phenyl, heterocyclyl,

- 20 NR¹⁰, O, S, SO, SO₂, CF₂, CFR, C=O, (C=O)NR¹⁰, SONR¹⁰, SO₂NR¹⁰, NR¹⁰(C=O), NR¹⁰SO,





q for each occurrence is independently 0 or 1;

a for each occurrence is independently 0 or an integer from 1 to 5;

R¹⁰ for each occurrence is independently selected from the group consisting of H, optionally substituted aryl, optionally substituted heterocyclyl and an optionally substituted alkyl group optionally substituted with one or more of the following: a C₁₋₆ alkyl group optionally substituted by one or more hydroxy, halo or optionally substituted amino; a C₁₋₆ alkoxy group optionally substituted by one or more hydroxy, halo or optionally substituted amino; hydroxy; halo; or optionally substituted amino;

Z¹ is H, optionally substituted alkyl, optionally substituted aryl or optionally substituted heterocyclyl;

X¹ is hydrogen, alkyl, hydroxyalkyl or represents a bond which is taken together with R³ as described below or represents a bond which is taken together with Q as described above;

R¹ and R² are each independently hydrogen, halogen, hydroxy, nitro, cyano, COOH, COOX³, SX³, SO₂X³, SOX³, C(O)X³, NHC(O)X³, C(O)NHX³, NHSO₂X³ or selected from an optionally substituted group consisting of alkyl, alkenyl, alkynyl, alkoxy, amino, NHX³, NX³X³, alkylamino, arylamino, heterocyclylamino, alkylthio, alkylsulfonato, aryl, aryloxy, arylalkyl, arylalkenyl, arylalkynyl, arylalkyloxy, heterocyclyl, heterocycliloxy, heterocyclyl-alkyl, heterocyclyl-alkenyl, heterocyclyl-alkynyl, heterocyclyl-alkyloxy, heterocyclylthio, heterocyclylsulfenyl, heterocyclylsulfonyl, cycloalkyl, -(CH₂)_m-(CHX²)CN, -(CH₂)_m-(CHX²)COOH, -(CH₂)_m-(CHX²)COOX³, -(CH₂)_m-(CHX²)SO₂X³, -(CH₂)_m-(CHX²)C(O)X³, -(CH₂)_m-(CHX²)C(O)NHX³ and -(CH₂)_m-(CHX²)NHSO₂X³;

where m is 0 to 4;

X² for each occurrence is independently H or an optionally substituted moiety selected from the group consisting of alkyl, alkenyl, alkynyl, carbonyl, S(O)_palkyl, S(O)_paryl, S(O)_pheterocyclyl, amino, alkoxy, alkylthio, arylthio, perhaloalkyl, aryl, aryloxy, arylalkyl, arylalkyloxy, heterocyclyl and heterocyclyl-alkyl;

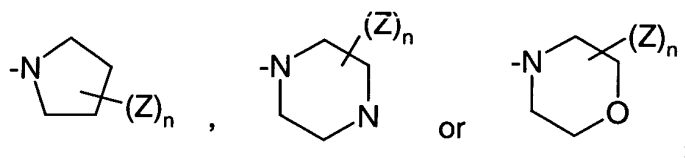
p is 0, 1 or 2;

X^3 for each occurrence is independently H or an optionally substituted moiety selected from the group consisting of mono- or di-alkylamino, alkyl, alkenyl, alkynyl, aryl, arylalkyl, heterocyclyl and heterocyclyl-alkyl;

or when R^1 is in the 7-position of the benzothiazole ring, R^1 and W can be taken together with the carbon atoms to which they are attached to form an optionally substituted 5- or 6-membered heterocyclyl ring;

R^3 is hydrogen, or an optionally substituted moiety selected from the group consisting of carbonyl, alkyl, alkenyl, alkynyl, cycloalkyl, aryl, arylalkyl, heterocyclyl, heterocyclyl-alkyl, heterocyclyl-heterocyclyl, heterocyclyl-cycloalkyl, amino, alkylamino, arylamino, alkoxy, thioalkoxy and acyl;

or R^3 and X^1 are taken together with the nitrogen atom to which they are attached to form



where Z for each occurrence is independently selected from the group consisting of oxo, or an optionally substituted moiety selected from the group consisting of $-C(O)(C_1-C_6)alkyl$,

$-C(O)aryl$, $-C(O)N(C_1-C_6)alkyl$, $-C(O)N-aryl$, $(C_1-C_6)alkyl$, $(C_2-C_6)alkenyl$, $(C_2-C_6)alkynyl$, amino, mono- or di- $(C_1-C_6)alkylamino$, $-COO(C_1-C_6)alkyl$, pyridyl, phenyl, phenyl $(C_1-C_6)alkyl$ and phenyl $(C_1-C_6)alkenyl$;

where each of the optionally substituted moieties described hereinabove is optionally substituted by one or more substituents each independently selected from the group consisting of oxo, amino, nitro, mono- or bi- $(C_1-C_6)alkylamino$, hydroxy, nitrile, chloro, fluoro, bromo, iodo, CF_3 , $(C_1-C_6)alkyl$,

$-C(O)(C_1-C_6)alkyl$, $-COOH$, $-COO(C_1-C_6)alkyl$, $-S(C_1-C_6)alkyl$, $-S-aryl$, $(C_1-C_6)alkoxy$, $-SO_2NH_2$, phenyl, phenyl $(C_1-C_6)alkyl$, $-O(C_1-C_6)alkyl-OH$, $-O(C_1-C_6)alkyl-O(C_1-C_6)alkyl$, $-O(C_2-C_6)alkyl-N((C_1-C_6)alkyl)_n$, $-N(C_1-C_6)alkyl-OH$, $-N(C_1-C_6)alkyl-O(C_1-C_6)alkyl$, $-C(O)NH_2$, $-C(O)N((C_1-C_6)alkyl)_n$, $-S(O)_n(C_1-C_6)alkyl$, $-S(O)_naryl$, $-S(O)_nheterocyclyl$, and heterocyclyl,

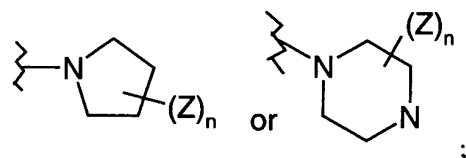
where the alkyl groups mentioned herein optionally have one or more unsaturated bonds in the alkyl portion;

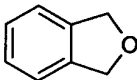
n is 0, 1 or 2;

provided that

1) when Q is H; Y is O; R¹ and R² are each hydrogen, halogen, alkyl, alkoxy, alkylthio, carboxyalkyl or optionally substituted phenyl; and X¹ is hydrogen or alkyl; then R³ is not alkyl, alkenyl, alkoxy, cycloalkyl or optionally substituted phenyl;

2) when Q is H; Y is O; R¹ and R² are each hydrogen, halogen, alkyl, alkoxy, alkylthio, carboxyalkyl or optionally substituted phenyl; then X¹ and R³ are not taken together to form



3) when W is Cl, Br or I; Q is hydrogen; Y is O; X¹ is H; then R³ is not  or phenyl optionally substituted by 1 to 3 substituents independently selected from the group consisting of amino, mono- or bi-(C₁-C₆)alkylamino, hydroxy, chloro, fluoro, bromo, iodo, (C₁-C₆)alkyl, (C₁-C₆)alkoxy and -SO₂NH₂;

4) when W is Cl, Br or I; Q is H; R¹ is 7-Cl; R² is H; and X¹ is alkyl; then R³ is not alkyl, alkoxy or cycloalkyl;

5) when W is Cl, Br or I; Q is H; R¹ is 7-Cl; R² is H; and X¹ is H; then R³ is not alkyl or cycloalkylamino;

6) when W is Cl, Br, I or NO₂; Q is H; Y is O; X¹ is H; R¹ is OH; R² is NO₂, amino, alkyl, alkoxy, hydroxy lower alkyl or dialkylamino; then R³ is not H or alkyl;

7) when W is Cl, Br or I; Q is H; Y is O; R¹ is CF₃, CH₂F, NO₂, alkyl or alkoxy; R² is H; X¹ is H; then R³ is not naphthyl or phenyl optionally substituted with halo, CF₃, alkyl or alkoxy;

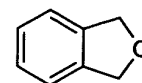
8) when W is Cl, Br or I; Q is H; R¹ is alkyl; R² is H; X¹ is H or alkyl; then R³ is not alkyl or alkoxy;

9) when W is Cl; Q is H; Y is S; R¹ and R² are each H; X¹ is H; then R³ is not ethyl;

10) when W is Cl; Q is H; Y is O; R¹ and R² are each H; X¹ is H; then R³ is not n-butyl; and

11) when W is H, then R¹ and R² are not H at the same time.

2. A compound according to claim 1, racemic-diastereomeric mixtures thereof, optical isomers thereof, prodrugs thereof, isotopes thereof or pharmaceutically-acceptable salts of said compound, isomers, prodrugs and isotopes, wherein the alkyl, alkenyl and alkynyl moieties, and the alkyl portion of a moiety is an optionally substituted straight or branched chain having one to eight carbon atoms;

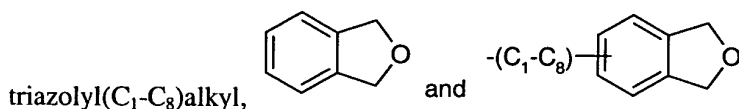


the aryl moiety and the aryl portion of a moiety is an optionally substituted phenyl, or naphthyl;

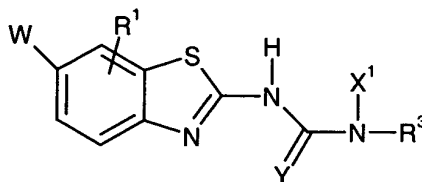
the heterocyclyl moiety and the heterocyclyl portion of a moiety are selected from the group consisting of an optionally substituted piperidinyl, pyridyl, pyrazinyl, pyrimidinyl, thienyl,

- 5 pyrrolidinyl, piperazinyl, thiomorpholinyl, morpholinyl, 2,3,4,5-tetrahydrofuranyl, 1,3-dioxanyl, 1,4-dioxanyl, furanyl, and 1,2,4-triazolyl, tetrazolyl, imidazolyl, pyrazolyl, thiazolyl, oxazolyl, oxadiazolyl, thiadiazolyl, benzimidazolyl, 1,3-dioxolanyl, 2-imidazoliny, imidazolidinyl, 2-pyrazoliny, pyrazolidinyl, isothiazolyl, 1,2,3-triazolyl, 2H-pyranyl, 4H-pyranyl, 1,4-dithianyl, 1,3,5-triazinyl, 1,3,5-trithianyl, indolyl, isoindolyl, 3H-indolyl, indolinyl, purinyl, 4H-
- 10 quinoliziny, cinnoliny, phthalazinyl, quinoliny, isoquinoliny, quinazoliny, quinoxaliny, 1,8-naphthpyridiny, pteridiny, quinuclidiny, carbazolyl, acridiny, phenaziny, phenothiaziny, phenoxaziny, pyrrolyl, isoxazolyl, pyridaziny, indazolyl, benzoxazolyl, benzofuranyl, benzothiazolyl, indoliziny, imidazopyridiny and benzothienyl.

3. A compound according to claim 2, racemic-diastereomeric mixtures thereof, optical isomers thereof, prodrugs thereof, isotopes thereof or pharmaceutically-acceptable salts of said compound, isomers, prodrugs and isotopes, wherein
- R³ is an optionally substituted moiety selected from the group consisting of (C₁-C₈)alkyl, phenyl, phenyl(C₁-C₈)alkyl, thienyl, thienyl(C₁-C₈)alkyl, piperidinyl, piperidinyl(C₁-C₈)alkyl, pyrrolidinyl, pyrrolidinyl(C₁-C₈)alkyl, morpholinyl, morpholinyl(C₁-C₈)alkyl, 2,3,4,5-
- 20 tetrahydrofuranyl, 2,3,4,5-tetrahydrofuranyl(C₁-C₈)alkyl, furanyl, furanyl(C₁-C₈)alkyl, cycloalkyl, cycloalkyl(C₁-C₈)alkyl, pyridyl, pyridyl(C₁-C₈)alkyl, 1,2,4-triazolyl, 1,2,4-



4. A compound of formula (IA),



(IA),

racemic-diastereomeric mixtures thereof, optical isomers thereof, prodrugs thereof, isotopes thereof or pharmaceutically-acceptable salts of said compound, isomers, prodrugs and isotopes, wherein

W is NO₂ or CN;

5 Y is O or S;

R¹ is in the 7-position and is hydrogen, methyl, ethyl, allyl, phenyl, benzyl, -CH₂-C(O)-CH₃, -CH₂-CO₂-t-Bu, -CH₂-SO₂-aryl, -alkyl-CN, or -alkyl(CN)(CH₂-aryl);

X¹ is hydrogen, alkyl or hydroxyalkyl;

R³ is selected from the group consisting of ethyl, n-butyl, t-butyl, n-propyl, allyl, hydroxyalkyl, aminoalkyl, -alkyl-NH-alkyl-OH, -alkyl-O-alkyl-OH, di-hydroxyalkyl, alkoxyalkyl, (alkylthio)hydroxyalkyl, cycloalkyl, cycloalkylalkyl, hydroxycycloalkyl, (alkylthio)(alkylester)alkyl, alkylesteralkyl, 2,4-dimethoxyphenyl, 3,5-trifluoromethylphenyl, 3-chlorophenyl, 4-chlorophenyl, 2,6-dichlorophenyl, 2-methylphenyl, 3-methylphenyl, (substituted phenyl)alkyl, phenylalkyl, heterocyclalkyl, N-alkylaminoalkyl, N,N-dialkylaminoalkyl, optionally substituted heterocycl, and optionally substituted heterocyclalkyl.

5. A compound according to claim 4, racemic-diastereomeric mixtures thereof, optical isomers thereof, prodrugs thereof, isotopes thereof or pharmaceutically-acceptable salts of said compound, isomers, prodrugs and isotopes, wherein R¹ is hydrogen and X¹ is hydrogen.

6. A compound according to claim 4, racemic-diastereomeric mixtures thereof, optical isomers thereof, prodrugs thereof, isotopes thereof or pharmaceutically-acceptable salts of said compound, isomers, prodrugs and isotopes, wherein

W is NO₂;

Q is hydrogen;

R¹ is in the 7-position and is hydrogen, methyl, ethyl or phenyl;

25 R² are each hydrogen;

X¹ is hydrogen; and

R³ is selected from the group consisting of ethyl, n-Bu, t-Bu, n-Pr, allyl, cyclopropyl, cyclobutyl, 2,4-dimethoxyphenyl, 3,5-bis-trifluoromethylphenyl, 3-chlorophenyl, 4-chlorophenyl, 2,6-dichlorophenyl, 2-methylphenyl and 3-methylphenyl.

30 7. A compound according to claim 3, racemic-diastereomeric mixtures thereof, optical isomers thereof, prodrugs thereof, isotopes thereof or pharmaceutically-acceptable salts of said compound, isomers, prodrugs and isotopes, wherein

Q is H;

0977554-060601

W is NO₂;

Y is S;

R¹ is in the 7-position and is hydrogen, -CH₂-SO₂-phenyl, -CH₂-CN, -CH(CH₃)(CN), or -CH(CN)(CH₂-phenyl);

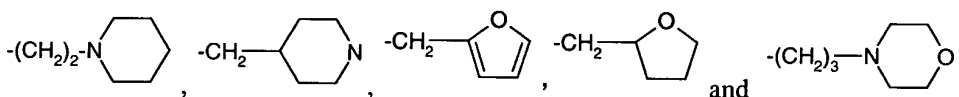
5 R² is hydrogen;

X¹ is hydrogen, methyl or -(CH₂)₂-OH;

R³ is selected from the group consisting of ethyl, benzyl, EtOH, n-PrOH, n-BuOH, n-pentanol, n-hexanol, -(CH₂)₂-NH-(CH₂)₂-OH, -(CH₂)₂-O-(CH₂)₂-OH, -CH(CH₂CH₃)(CH₂OH), -CH(CH₂OH)(CH₂-i-Pr), 2,3-di-hydroxy-propyl, 2-hydroxypropyl, -CH(CH₃)(CH₂OH),

10 -C(CH₃)₂(CH₂OH), -CH₂(CH₃)(CH₂OCH₃), 1,3-dihydroxyisopropyl, -

CH(CH₂OH)(CH₂CH₂SCH₃), cyclopropyl, cyclopropylmethyl, 4-hydroxycyclohexyl, 3-chlorophenyl, 4-chlorophenyl, 2-methylphenyl, 3-methylphenyl, 4-aminobenzyl, (4-aminophenyl)ethyl, -(CH₂)₃-N(Et)₂, -(CH₂)₂-N(Me)₂, N-piperidiny, 2,6-dimethylpiperidiny,



15 8. A compound according to claim 3, racemic-diastereomeric mixtures thereof, optical isomers thereof, prodrugs thereof, isotopes thereof or pharmaceutically-acceptable salts of said compound, isomers, prodrugs and isotopes, wherein

Y is O;

R¹ is in the 7-position and is hydrogen, -CH₂-SO₂-phenyl, -CH₂-CN, -CH(CH₃)(CN), or

20 -CH(CN)(CH₂-phenyl);

R² is hydrogen;

X¹ is hydrogen, methyl or -(CH₂)₂-OH;

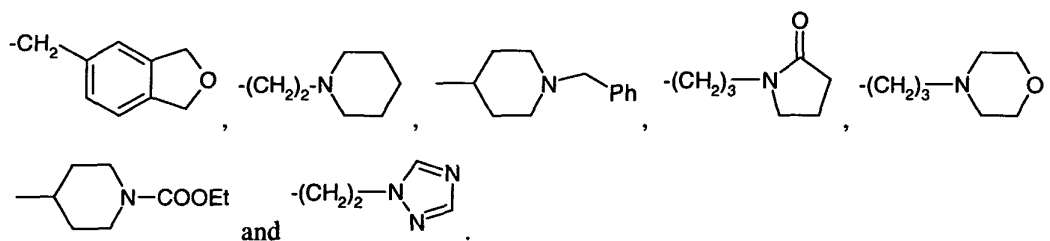
R³ is selected from the group consisting of benzyl, EtOH, n-PrOH, *t*-BuOH, n-hexanol, aminoethyl, aminopropyl, -(CH₂)₂-NH-(CH₂)₂-OH, -(CH₂)₂-O-(CH₂)₂-OH, -

25 CH(CH₂CH₃)(CH₂OH),

-CH(CH₂OH)(CH₂-i-Pr), 2,3-di-hydroxy-propyl, 2-hydroxypropyl, -CH(CH₃)(CH₂OH), 1,3-dihydroxyisopropyl, -CH(CH₂OH)(CH₂CH₂SCH₃), cyclobutyl, 4-hydroxycyclohexyl,

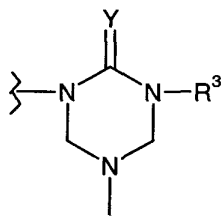
-CH(COOEt)(CH₂)₂-SCH₃, -(CH₂)₂-COOEt, -(CH₂)₅-COOEt, (2-aminophenyl)methyl, 4-aminobenzyl, (4-aminophenyl)ethyl, -C(CH₃)₂(phenyl), -CH₂(2,4-difluorophenyl), 2-

30 pyridylmethyl, 3-pyridylmethyl, 4-pyridylmethyl -(CH₂)₂-thien-2-yl, -CH(*i*-Pr)(COOEt), -CH(*i*-Pr)(CH₂OH), 3-(N-methylamino)propyl, -(CH₂)₃-N(Et)₂, -(CH₂)₄-N(Et)₂, -CH(Me)(CH₂)₄-CH₃, -CH(Me)(CH₂)₃-N(Et)₂, N-piperidiny, -(CH₂)₂-(4-(SO₂NH₂)phenyl), 2,6-dimethylpiperidiny,



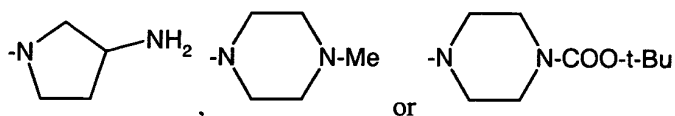
9. A compound according to claim 3, racemic-diastereomeric mixtures thereof, optical isomers thereof, prodrugs thereof, isotopes thereof or pharmaceutically-acceptable salts of said compound, isomers, prodrugs and isotopes, wherein
- W is NO_2 ;
- Q is hydrogen;
- R^1 is in the 7-position and is $-\text{CH}_2-\text{CO}_2-\text{t-Bu}$, allyl or benzyl;
- R^2 are each hydrogen;
- 10 X^1 is hydrogen; and
- R^3 is ethyl.

10. A compound according to claim 3, racemic-diastereomeric mixtures thereof, optical isomers thereof, prodrugs thereof, isotopes thereof or pharmaceutically-acceptable salts of said compound, isomers, prodrugs and isotopes, wherein
- 15 W is NO_2 ;
- R^1 is in the 7-position and is hydrogen, $-\text{CH}(\text{CH}_3)(\text{CN})$ or $-\text{CH}(\text{CN})(\text{CH}_2-\text{phenyl})$;
- R^2 is hydrogen; and



Q is taken together with X^1 and to form , where Y is O and R^3 is ethyl.

11. A compound according to claim 2, racemic-diastereomeric mixtures thereof, optical isomers thereof, prodrugs thereof, isotopes thereof or pharmaceutically-acceptable salts of said compound, isomers, prodrugs and isotopes, wherein
- W is NO_2 ;
- Q is H;
- R^1 and R^2 are each hydrogen; and
- 25 R^3 and X^1 are taken together with the nitrogen atom to which they are attached to form



12. A compound according to claim 3, racemic-diastereomeric mixtures thereof, optical isomers thereof, prodrugs thereof, isotopes thereof or pharmaceutically-acceptable salts of said compound, isomers, prodrugs and isotopes, wherein

5 W is NO₂;

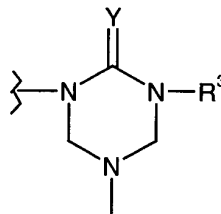
R¹ is hydrogen or is in the 7-position and is -CH₂-CN, -CH₂-CONH₂ and -CH₂-COO-t-Bu;

R² is hydrogen;

X¹ is hydrogen or -CH₂-O-CH₃;

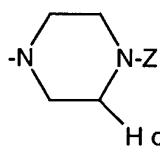
R³ is methyl, ethyl, n-BuOH, -CH₂CF₃, morpholino, -(CH₂)₇-N(Me)₂, 2-phenyl-phenyl, n-BuOH,

10 -CH₂CF₃, morpholino, -(CH₂)₄-N(Me)₂, -(CH₂)₂-N(Me)₂, -(CH₂)₃-NHMe, benzyl or -CH₂-O-CH₃;



or Q is hydrogen or is taken together with X¹ to form is ethyl;

or R³ and X¹ are taken together with the nitrogen atom to which they are attached to form



, where Z is methyl, 4-fluorophenyl, 2-pyridyl, 2-methoxyphenyl, -CH₂-

15 CH=CH-phenyl or 2,4-dimethoxyphenyl.

13. A compound according to claim 1, racemic-diastereomeric mixtures thereof, optical isomers thereof, prodrugs thereof, isotopes thereof or pharmaceutically-acceptable salts of said compound, isomers, prodrugs and isotopes, wherein

W is Cl or Br;

20 Q is H;

R³ is an optionally substituted moiety selected from the group consisting of alkyl, alkenyl, phenyl, phenylalkyl, heterocyclyl, heterocyclyl-alkyl or aminoalkyl.

14. A compound according to claim 13, racemic-diastereomeric mixtures thereof, optical isomers thereof, prodrugs thereof, isotopes thereof or pharmaceutically-acceptable salts

25 of said compound, isomers, prodrugs and isotopes, wherein

R³ is alkyl, haloalkyl, esteralkyl, N,N-dialkylaminoalkyl, alkenyl, phenyl, phenylalkyl, halophenyl, alkoxyphenyl, aryloxyphenyl, thienyl-alkyl, halopyridyl, heterocyclyl, heterocyclyl-alkyl or aminoalkyl.

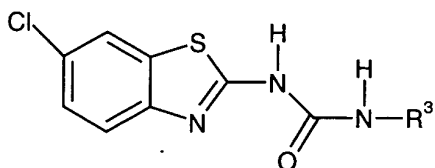
15. A compound according to claim 14, racemic-diastereomeric mixtures thereof, optical isomers thereof, prodrugs thereof, isotopes thereof or pharmaceutically-acceptable salts of said compound, isomers, prodrugs and isotopes, wherein

W is Cl;

R³ is ethyl, propyl, butyl, t-butyl, 2,4,6-trichlorophenyl, 2,4-dimethoxyphenyl, -(CH₂)₂-2-thienyl, allyl, 2-bromoethyl, 2-phenoxyphenyl, 2,6-dichloropyrid-4-yl, benzyl, -(CH₂)₂-COOEt, -(CH₂)₃-N(Et)₂, -(CH₂)₄-N(Et)₂, or -(CH₂)₂-N(Me)₂.

16. A compound according to claim 15, racemic-diastereomeric mixtures thereof, optical isomers thereof, prodrugs thereof, isotopes thereof or pharmaceutically-acceptable salts of said compound, isomers, prodrugs and isotopes, wherein R³ is -(CH₂)₂-2-thienyl, allyl, 2-bromoethyl, 2-phenoxyphenyl, 2,6-dichloropyrid-4-yl, benzyl, -(CH₂)₂-COOEt, -(CH₂)₃-N(Et)₂, -(CH₂)₄-N(Et)₂, or -(CH₂)₂-N(Me)₂.

17. A compound of the formula



racemic-diastereomeric mixtures thereof, optical isomers thereof, prodrugs thereof, isotopes thereof or pharmaceutically-acceptable salts of said compound, isomers, prodrugs and isotopes, wherein

R³ is ethyl, propyl, t-butyl, 2,4,6-trichlorophenyl or 2,4-dimethoxyphenyl.

18. A compound according to claim 14, racemic-diastereomeric mixtures thereof, optical isomers thereof, prodrugs thereof, isotopes thereof or pharmaceutically-acceptable salts of said compound, isomers, prodrugs and isotopes, wherein

25 R¹ is hydroxy, nitro, or an optionally substituted moiety selected from the group consisting of alkyl, alkoxy, arylalkyloxy and sulfonato;

R² is halo or nitro; and

R³ is alkyl or phenylalkyl.

19. A compound according to claim 18, racemic-diastereomeric mixtures thereof, optical isomers thereof, prodrugs thereof, isotopes thereof or pharmaceutically-acceptable salts of said compound, isomers, prodrugs and isotopes, wherein

R¹ is hydroxy, nitro, methyl, methoxy, isopropoxy, benzyloxy, 4-fluorobenzyloxy, -O-C(CH₃)₂(C(O)NH₂), -O-(CH₂)₂-O-(CH₂)₂-OMe or -O-SO₂-CF₃;

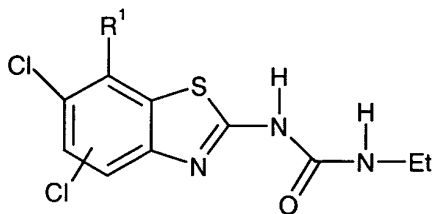
R² is Cl or nitro; and

R³ is ethyl or benzyl.

20. A compound according to claim 19, racemic-diastereomeric mixtures thereof, optical isomers thereof, prodrugs thereof, isotopes thereof or pharmaceutically-acceptable salts of said compound, isomers, prodrugs and isotopes, wherein X¹ is H .

21. A compound according to claim 20, racemic-diastereomeric mixtures thereof, optical isomers thereof, prodrugs thereof, isotopes thereof or pharmaceutically-acceptable salts of said compound, isomers, prodrugs and isotopes, wherein W is Cl; R¹ is in the 7-position; and R² is in the 4- or 5-position.

22. A compound of the formula



racemic-diastereomeric mixtures thereof, optical isomers thereof, prodrugs thereof, isotopes thereof or pharmaceutically-acceptable salts of said compound, isomers, prodrugs and isotopes, wherein R¹ is methyl, methoxy or isopropoxy.

23. A method of inhibiting protein kinase activity, which comprises administering to a patient a compound of formula (IB) as defined hereinabove, racemic-diastereomeric mixtures thereof, optical isomers thereof, prodrugs thereof, isotopes thereof or pharmaceutically-acceptable salts of said compound, isomers, prodrugs and isotopes.

24. The method according to claim 23, wherein said protein kinase is a tyrosine kinase.

25. The method according to claim 24, wherein said tyrosine kinase is a receptor tyrosine kinase or a non-receptor tyrosine kinase.

26. The method according to claim 25, wherein tyrosine kinase is KDR or Lck.

27. The method according to claim 23, wherein said tyrosine kinase affects angiogenesis.

28. The method according to claim 27, wherein the inhibition of said tyrosine kinase results in an anti-angiogenic effect.

5 29. A method of treating a condition, disorder or disease, which comprises administering to a patient a compound of formula (IB) as defined hereinabove, racemic-diastereomeric mixtures thereof, optical isomers thereof, prodrugs thereof or pharmaceutically-acceptable salts of said compound, isomers, prodrugs and isotopes; where said condition, disorder or disease is selected from the group consisting of
10 hyperproliferative disorders, an ulcer, Lyme disease, sepsis, von Hippel Lindau disease, pemphigoid, psoriasis, psoriasis arthropathy, paraneoplastic syndrome, turbid effusions, collagenosis, Lupus erythematosus, poly-myositis, dermato-myositis, systemic sclerodermia, mixed collagenosis, postinfectious arthritis, seronegative spondylarthritis, spondylitis ankylosans, vasculitis, sarcoidosis, arthrosis, pain, Paget's disease, polycystic kidney disease,
15 fibrosis, sarcoidosis, cirrhosis, thyroiditis, hyperviscosity syndrome, Osler-Weber-Rendu disease, chronic occlusive pulmonary disease, ovarian hyperstimulation syndrome, preeclampsia, menometrorrhagia, endometriosis, chronic inflammation, systemic lupus, glomerulonephritis, synovitis, inflammatory bowel disease, Crohn's disease, glomerulonephritis, rheumatoid arthritis, juvenile arthritis, osteoarthritis, multiple sclerosis, graft rejection, sickle cell anaemia, an ocular
20 condition, a cardiovascular condition, atherosclerosis, restenosis, ischemia/reperfusion injury, vascular occlusion, carotid obstructive disease, cancer, Crow-Fukase (POEMS) syndrome, a diabetic condition, anemia, ischemia, infarct, transplant rejection, a wound, gangrene, necrosis, asthma or edema following burns, trauma, radiation, stroke, hypoxia or ischemia, and infection by Herpes simplex, Herpes Zoster, human immunodeficiency virus, parapoxvirus, protozoa or
25 toxoplasmosis.

30. The method according to claim 29, wherein the ocular condition is ocular or macular edema, ocular neovascular disease, scleritis, radial keratotomy, uveitis, vitritis, myopia, optic pits, chronic retinal detachment, post-laser treatment complications, conjunctivitis, Stargardt's disease, Eales disease, retinopathy or macular degeneration.

30 31. The method according to claim 29, wherein the cancer is a solid tumor, a sarcoma, fibrosarcoma, osteoma, melanoma, retinoblastoma, a rhabdomyosarcoma, glioblastoma, neuroblastoma, teratocarcinoma, an hematopoietic malignancy, malignant ascites, Kaposi's sarcoma, Hodgkin's disease, lymphoma, myeloma or leukemia.

32. The method according to claim 29, wherein the diabetic condition is insulin-dependent diabetes mellitus glaucoma, diabetic retinopathy or microangiopathy.

33. A method of decreasing fertility in a patient, which comprises administering to a patient an effective amount of a compound of formula (IB) as defined hereinabove, racemic-
5 diastereomeric mixtures thereof, optical isomers thereof, prodrugs thereof, isotopes thereof or pharmaceutically-acceptable salts of said compound, isomers, prodrugs and isotopes.

34. A method of promoting angiogenesis or vasculogenesis, which comprises administering to a patient a compound of formula (IB) as defined hereinabove, racemic-
10 diastereomeric mixtures thereof, optical isomers thereof, prodrugs thereof, isotopes thereof or pharmaceutically-acceptable salts of said compound, isomers, prodrugs and isotopes.

35. A method according to claim 34, wherein the compound of formula (IB) is administered in combination with a pro-angiogenic growth factor.

36. A method of treating a patient having a condition which is mediated by protein kinase activity, said method comprising the step of administering to the patient a therapeutically
15 effective amount of a compound of formula (IB) as defined hereinabove, racemic-diastereomeric mixtures thereof, optical isomers thereof, prodrugs thereof, isotopes thereof or pharmaceutically-acceptable salts of said compound, isomers, prodrugs and isotopes.

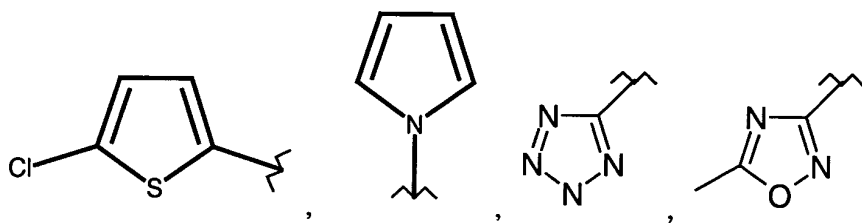
37. The method according to claim 36, wherein the protein kinase activity is involved in T cell activation, B cell activation, mast cell degranulation, monocyte activation, the
20 potentiation of an inflammatory response or a combination thereof.

38. A pharmaceutical composition comprising a compound according to claim 1 and a pharmaceutically acceptable diluent or carrier.

39. A pharmaceutical composition for inhibiting a protein kinase, which composition comprises a pharmaceutically acceptable carrier or diluent and an effective amount of a
25 compound of formula (IB) as defined hereinabove, racemic-diastereomeric mixtures thereof, optical isomers thereof, prodrugs thereof, isotopes thereof or pharmaceutically-acceptable salts of said compound, isomers, prodrugs and isotopes.

40. A compound according to claim 1, wherein W is $-(CH_2)_2-NH-C(O)-NH-(C(R^{10})_2)_a-Z^1_q$ or an optionally substituted heterocyclyl; R_1 and R_2 are each H; Q is H; Y is O; X^1 is H; and R_3 is an
30 optionally substituted alkyl.

41. A compound according to claim 40 wherein W is:



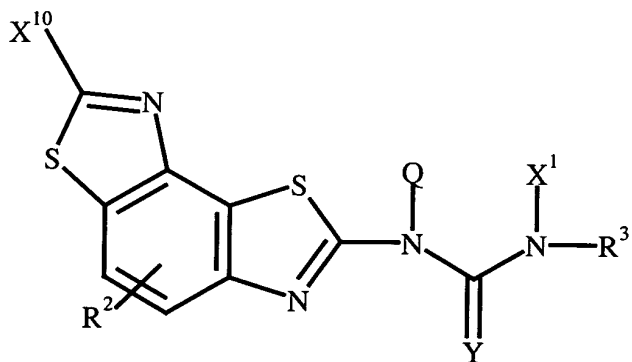
-(CH₂)₂-NH-C(O)-NH-Et, -CH₂-NH-C(O)-NH-ethyl, -CH₂-NH₂, -NH-phenyl, -C(O)-NH₂, -CH₂-NH-S(O)₂-Ph, -C(O)-NH-phenyl, -CH₂-NH-S(O)₂-CF₃, -CH₂-CN, -CH₂-NH-CH₂-5-methyl-furan-2-yl, -C(O)-NH-(CH₂)₃-(4-methylpiperazin-1-yl),
 5 -(CH₂)₂-NH-C(O)-NH-(phenyl), or -(CH₂)₂-NH-C(O)-NH-(p-toluy).

42. A compound according to claim 41, wherein R³ is ethyl.

43. A compound according to claim 1, wherein W is CN; R¹ and R² are each H; Q is H; Y is O; X¹ is H; and R³ is an optionally substituted heterocyclyl-heterocyclyl, or heterocyclyl-cycloalkyl.

10 44. A compound according to claim 1, wherein R³ is 3-(4-methylpiperazino)propyl, 2-morpholinoethyl, 3-(9-benzyl-9-azabicyclo[3.3.1]nonyl, 6-(4-methylpiperazino)-3-pyridyl, 3-(8-benzyl-8-azabicyclo[3.2.1]octyl, methyl-3-(8-benzyl-8-azabicyclo[3.2.1]octyl, *tert*-butylcarboxylate-1-piperidinylmethyl, 4-piperidylmethyl, *tert*-butylcarboxylate-1-piperazinyl-ethyl, 2-piperazinoethyl, 4-(4-methylpiperazino)cyclohexyl, 3-piperidinopropyl, 6-(4-
 15 methylpiperazino)-3-pyridyl.

45. A compound according to claim 1, wherein R¹ and W are taken together to form



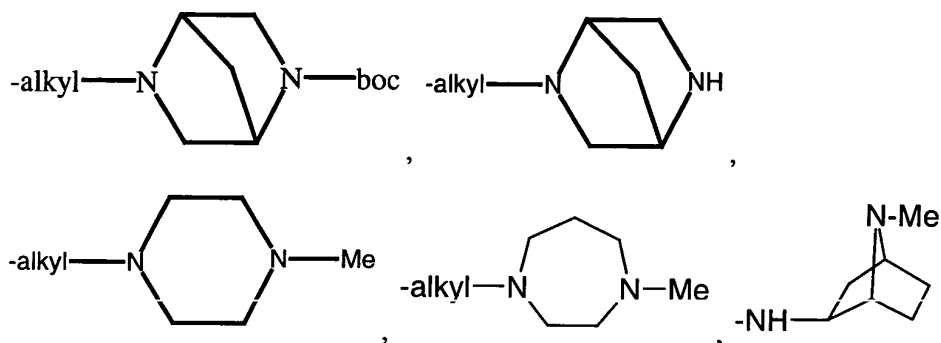
where X¹⁰ is independently selected from the same group of substituents as X³.

20 46. A compound according to claim 45, wherein R² is H; Q is H; Y is O; X¹ is H; R³ is alkyl; and X¹⁰ is ethyl, 3-pyridyl, N-(p-Br-phenyl)-NH-, 1-piperidyl or CH₃-NH-.

47. A compound according to claim 1, wherein W is H; and R¹ is -S-X³, -S(O)X³ or -S(O)₂X³.

48. A compound according to claim 1, wherein W is Br, Cl or p-fluorophenoxy, R¹ and R² are each H; Q is H; Y is O; X¹ is H; and R³ is alkyl-chloro,

5



-alkyl-piperazin-1-yl, -alkyl-(2,5-dimethylpiperazin-1-yl), -alkyl-(3,5-dimethylpiperazin-1-yl), -alkyl-(3-aminocarbonylpiperidin-1-yl), -alkyl-(4-hydroxypiperidin-1-yl), -alkyl-(3-hydroxypiperidin-1-yl), -alkyl-COOEt, -alkyl-COOH, -alkyl-(4-methylpiperazin-1-yl), -alkyl-(N-morpholinoethylamino), -alkyl-(N-piperidinyethylamino), -alkyl-(N-(N,N-diethylaminoethyl)-N-(methyl)amino), -alkyl-((1-ethylpyrrolidin-2-yl)-methylamino), -alkyl-(N-(1-methylpiperidin-4-yl)-N-(methyl)amino), -alkylamino, -alkyl-piperidin-1-yl or -alkyl-(N,N-diethylaminoethylamino).

49. A compound according to claim 48, wherein the alkyl group is methylene, ethylene or propylene.

50. A compound according to claim 1, wherein R² is H; Q is H; Y is O; X¹ is H and R³ is ethyl.

51. A compound according to claim 50, wherein W is H or Br; and R¹ is in the 7-position of the benzothiazolyl ring and is -C≡CH, -C≡C-(2-pyridinyl), -C≡C-CH₂-N(CH₃)₂, -O-CH(CH₃)₂, phenyl or -CH=CH₂.

52. A compound according to claim 50, wherein R¹ is -CH=CH₂ and W is -CH=CH₂.

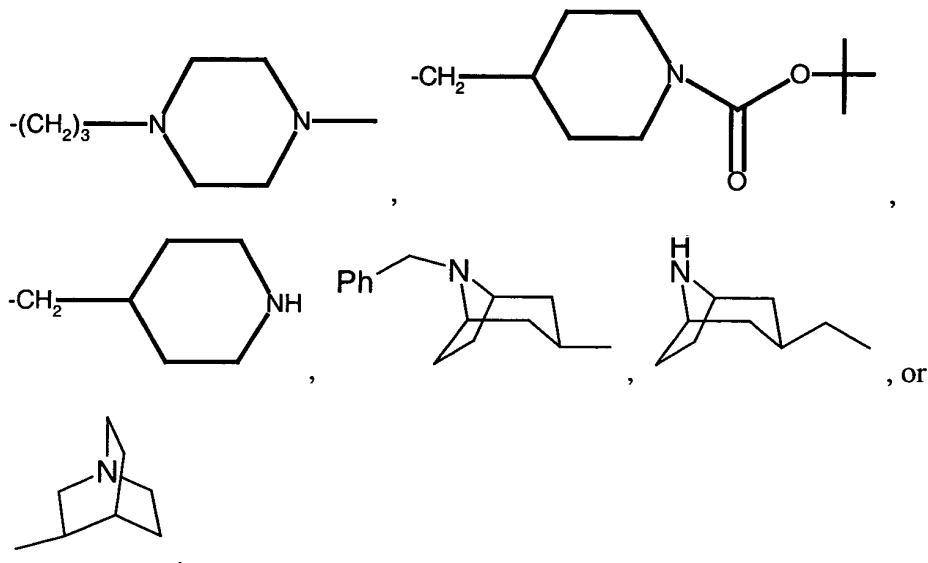
53. A compound according to claim 50, wherein R¹ is H and W is benzyl, p-fluorophenoxy or pyridin-4-ylmethyl.

54. A compound according to claim 50, wherein W is F; R¹ is in the 7-position of the benzothiazolyl ring and is H or Cl; and R² is in the 5-position of the benzothiazolyl ring and is H or Cl.

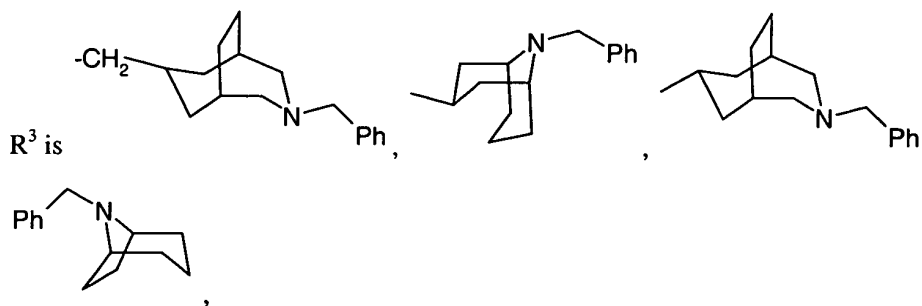


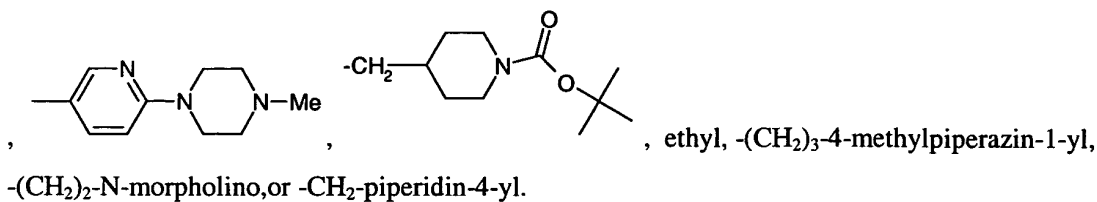
55. A compound according to claim 50, wherein R¹ is H and W is -CH≡CH, -C≡C-Ph, -C≡C-CH₂-N(CH₃)₂, -C≡C-(4-fluorophenyl), -C≡C-(p-toluy), -(CH₂)₂-Ph, -(CH₂)₂-(4-fluorophenyl), -CH=CH-phenyl, -CH=CH-CH₂-N(CH₃)₂, -CH=CH-(4-fluorophenyl), -CH=CH-(p-toluy), or -CH=CH-(1-imidazolyl).

- 5 56. A compound according to claim 1, wherein W is p-fluorophenoxy, -(CH₂)₃-NHMe or -(CH₂)₂-1-piperazinyl; and R³ is -CH₂-C(Me)₂-CH₂-N(CH₃)₂, -(CH₂)₂-(5-imidazolyl),

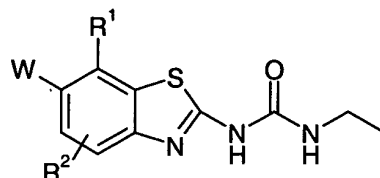


- 10 57. A compound according to claim 1, wherein R¹ is in the 7-position of the benzothiazolyl ring and is H or CN; R² is H; Y is O; Q and X¹ are each H;
W is Cl, NO₂, -CH₂-OH, -CH₂-O-C(O)-NH-Et, -S-phenyl, -O-phenyl, -S-CH₃, -C(O)-phenyl, -S(O)-phenyl, -S-p-nitrophenyl, -S-p-methylphenyl, -S-p-chlorophenyl, -S-p-methoxyphenyl, -S-m-CF₃-phenyl, -S-o-chlorophenyl, -C(O)-CH₃, -NH-C(O)-NH-(-CH₂)₂-2-thienyl, -NH-C(O)-NH-3-pyridyl, -S(O)₂-p-(carboxymethylamino)-phenyl, -N-morpholino, -NH-C(O)-NH-Et, -NH-C(O)-NH-CH₂-phenyl, -S-p-chlorophenyl, -S-p-bromophenyl, -S-m-CF₃-phenyl, or -S-p-fluorophenyl;
- 15





58. A compound of the formula



- 5 wherein W is H, -OCF₃, -O-Et, F, CH₃, -OCH₃, -SO₂-Me, NH₂, -NH-C(O)-Me, -NH-CH₂-phenyl, -NH-S(O)₂-2-thienyl, -NH-S(O)₂-(3,5-dimethylisoxazol-4-yl), -NH-S(O)₂-Me, -NH-S(O)₂-CH₂-phenyl, -NH-C(O)-O-CH₂-CCl₃, -NH-C(O)-O-CH₂-Ph, -NH-C(O)-O-Me or NO₂; R¹ is H, F or -CH₂-S(O)₂-phenyl; and R² is H, 4-Cl, 4-methyl, 5-methyl, 5-Cl, 5-F or 5-OCH₃.

- 10 59. A method of using a compound of formula (IB) or a pharmaceutically acceptable salt thereof as a replacement therapy for anti-inflammatory glucocorticosteroid therapy in a patient undergoing anti-inflammatory glucocorticosteroid therapy comprising the step of replacing a glucocorticosteroid with a compound of formula (IB) or a pharmaceutically acceptable salt thereof.

- 15 60. A method of using a compound of formula (IB) or a pharmaceutically acceptable salt thereof in conjunction with glucocorticosteroid therapy in a patient undergoing glucocorticosteroid therapy comprising the step of replacing a portion of the amount of glucocorticosteroid administered to said patient.